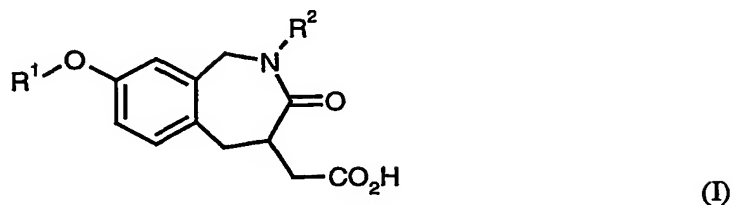
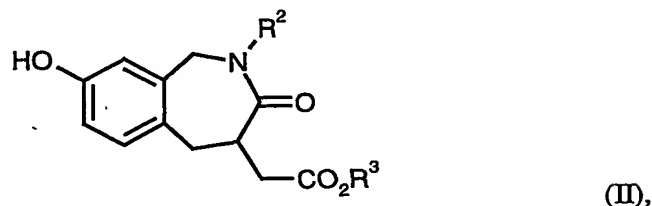


We claim:

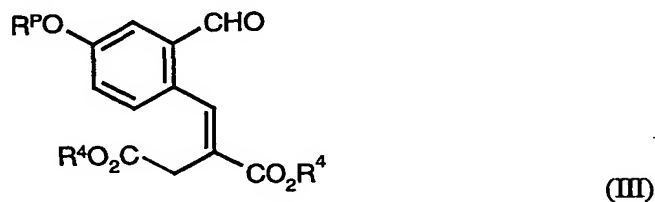
1. A process for preparing a compound of Formula (I):



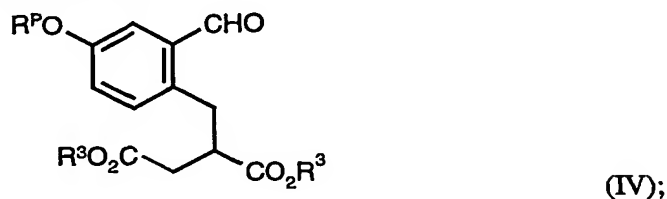
- 5 from a benzazepine-phenol of Formula (II):



wherein the benzazepine-phenol of Formula (II) is prepared by a process comprising converting a compound of Formula (III):



- 10 to a compound of Formula (IV):



wherein:

Rᵀ is H or a suitable phenol protecting group;

R³ and R⁴ are the same or different and are each independently H or a carboxylic acid

- 15 ester protecting group;

R² is R⁷, C₁-C₄ alkyl, C₁-C₄ haloalkyl, A-C₀-C₄ alkyl-, A-C₂-C₄ alkenyl-, A-C₂-C₄ alkynyl-, A-C₃-C₄ oxoalkenyl-, A-C₃-C₄ oxoalkynyl-, A-C₀-C₄ aminoalkyl-, A-C₃-C₄ aminoalkenyl-, A-C₃-C₄ aminoalkynyl-, optionally substituted by any accessible combination of one or more of R¹⁰ or R⁷;

- 20 A is H, C₃-C₆ cycloalkyl, Het or Ar;

R^7 is $-\text{COR}^8$, $-\text{COCR}_2^9$, $-\text{C(S)R}^8$, $-\text{S(O)}_m\text{OR}'$, $-\text{S(O)}_m\text{NR}'\text{R}''$, $-\text{PO(OR)}'$, $-\text{PO(OR)}'_2$,

$-\text{NO}_2$, or tetrazolyl;

each R^8 independently is $-\text{OR}'$, $-\text{NR}'\text{R}''$, $-\text{NR}'\text{SO}_2\text{R}'$, $-\text{NR}'\text{OR}'$, or $-\text{OCR}_2^9\text{CO(O)R}'$;

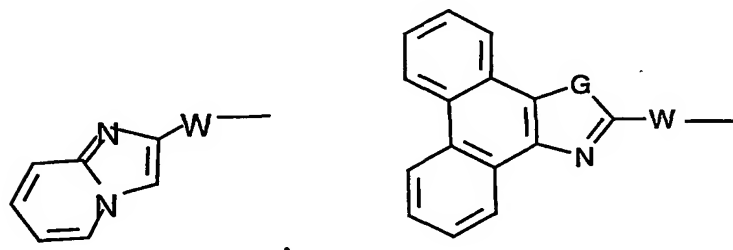
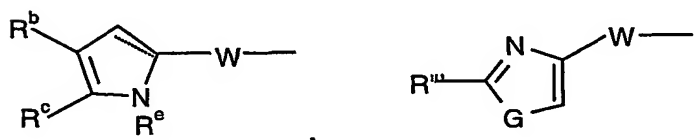
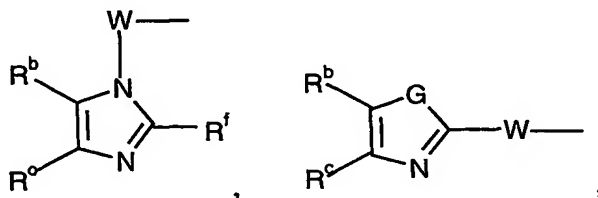
R^9 is $-\text{OR}'$, $-\text{CN}$, $-\text{S(O)}_r\text{R}'$, $-\text{S(O)}_m\text{NR}'_2$, $-\text{C(O)R}'$, $\text{C(O)NR}'_2$, or $-\text{CO}_2\text{R}'$;

5 R^{10} is H, halo, $-\text{OR}^{11}$, $-\text{CN}$, $-\text{NR}'\text{R}^{11}$, $-\text{NO}_2$, $-\text{CF}_3$, $\text{CF}_3\text{S(O)}_r$, $-\text{CO}_2\text{R}'$, $-\text{CONR}'_2$, $\text{A-C}_0\text{-C}_6$ alkyl-, $\text{A-C}_1\text{-C}_6$ oxoalkyl-, $\text{A-C}_2\text{-C}_6$ alkenyl-, $\text{A-C}_2\text{-C}_6$ alkynyl-, $\text{A-C}_0\text{-C}_6$ alkyloxy-, $\text{A-C}_0\text{-C}_6$ alkylamino- or $\text{A-C}_0\text{-C}_6$ alkyl-S(O) $_r$;

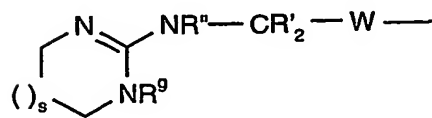
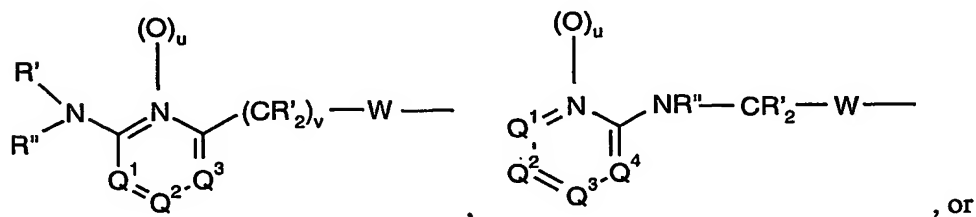
R^{11} is R' , $-\text{C(O)R}'$, $-\text{C(O)NR}'_2$, $-\text{C(O)OR}'$, $-\text{S(O)}_m\text{R}'$, or $-\text{S(O)}_m\text{NR}'_2$;

R^1 is

10



15



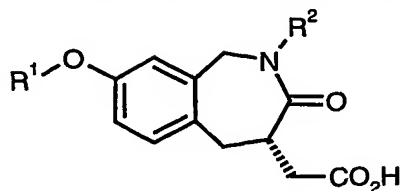
W is $-(\text{CHR}^5)_a\text{-U-}(\text{CHR}^5)_b\text{-}$;

- U is absent or CO, CR^g₂, C(=CR^g₂), S(O)_k, O, NR^g, CR^gOR^g, CR^g(OR^k)CR^g₂, CR^g₂CR^g(OR^k), C(O)CR^g₂, CR^g₂C(O), CONRⁱ, NRⁱCO, OC(O), C(O)O, C(S)O, OC(S), C(S)NR^g, NR^gC(S), S(O)₂NR^g, NR^gS(O)₂, N=N, NR^gNR^g, NR^gCR^g₂, CR^g₂NR^g, CR^g₂OOCR^g₂, C≡C or CR^g=CR^g;
- 5 G is NR^e, S or O;
R^g is H, C₁-C₆ alkyl, Het-C₀-C₆ alkyl, C₃-C₇ cycloalkyl-C₀-C₆ alkyl or Ar-C₀-C₆ alkyl;
R^k is R^g, -C(O)R^g, or -C(O)OR^f;
Rⁱ is H, C₁-C₆ alkyl, Het-C₀-C₆ alkyl, C₃-C₇ cycloalkyl-C₀-C₆ alkyl, Ar-C₀-C₆ alkyl, or C₁-C₆ alkyl substituted by one to three groups chosen from halogen, CN, NR^g₂, OR^g, SR^g,
10 CO₂R^g, and CON(R^g)₂;
R^g is H, C₁-C₆ alkyl or Ar-C₀-C₆ alkyl;
R^e is H, C₁-C₆ alkyl, Ar-C₀-C₆ alkyl, Het-C₀-C₆ alkyl, C₃-C₇ cycloalkyl-C₀-C₆ alkyl, or (CH₂)_kCO₂R^g;
R^b and R^c are independently selected from H, C₁-C₆ alkyl, Ar-C₀-C₆ alkyl,
15 Het-C₀-C₆ alkyl, or C₃-C₆ cycloalkyl-C₀-C₆ alkyl, halogen, CF₃, OR^f, S(O)_kR^f, COR^f, NO₂, N(R^f)₂, CO(NR^f)₂, CH₂N(R^f)₂, or R^b and R^c are joined together to form a five or six membered aromatic or non-aromatic carbocyclic or heterocyclic ring, optionally substituted by up to three substituents chosen from halogen, CF₃, C₁-C₄ alkyl, OR^f, S(O)_kR^f, COR^f, CO₂R^f, OH, NO₂, N(R^f)₂, CO(NR^f)₂, and CH₂N(R^f)₂; or methylenedioxy;
- 20 Q¹, Q², Q³ and Q⁴ are independently N or C-R^y, provided that no more than one of Q¹, Q², Q³ and Q⁴ is N;
Rⁱ is H, C₁-C₆ alkyl, Ar-C₀-C₆ alkyl or C₃-C₆ cycloalkyl-C₀-C₆ alkyl;
R^{''} is Rⁱ, -C(O)Rⁱ or -C(O)ORⁱ;
R^{'''} is H, C₁-C₆ alkyl, Ar-C₀-C₆ alkyl, Het-C₀-C₆ alkyl, or
25 C₃-C₆ cycloalkyl-C₀-C₆ alkyl, halogen, CF₃, OR^f, S(O)_kR^f, COR^f, NO₂, N(R^f)₂, CO(NR^f)₂, CH₂N(R^f)₂;
R^y is H, halo, -OR^g, -SR^g, -CN, -NR^gR^k, -NO₂, -CF₃, CF₃S(O)_r-, -CO₂R^g, -COR^g or -CONR^g₂, or C₁-C₆ alkyl optionally substituted by halo, -OR^g, -SR^g, -CN, -NR^gR^{''}, -NO₂, -CF₃, RⁱS(O)_r-, -CO₂R^g, -COR^g or -CONR^g₂;
- 30 a is 0, 1 or 2;
b is 0, 1 or 2;
k is 0, 1 or 2;
m is 1 or 2;
r is 0, 1 or 2;
35 s is 0, 1 or 2;

u is 0 or 1; and

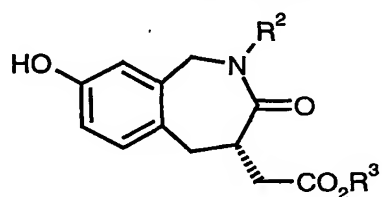
v is 0 or 1.

2. A process according to claim 1, comprising preparing a compound of Formula (I-S):



(I-S)

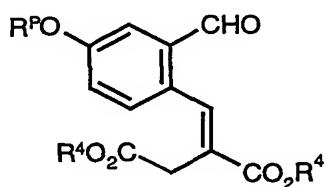
from a benzazepine-phenol of Formula (II-S):



(II-S),

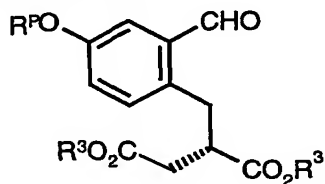
wherein the benzazepine-phenol of Formula (II-S) is prepared by a process comprising

- 10 converting a compound of Formula (III):



(III)

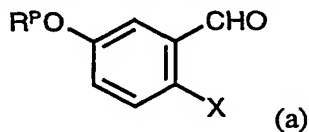
to a compound of Formula (IV-S):



(IV-S).

- 15 3. A process according to claim 1, further comprising a process for preparing the compound of Formula (II) comprising the steps of:

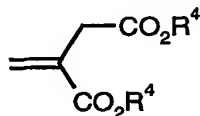
- 1) treating a compound having Formula (a)



(a)

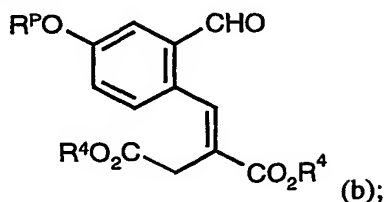
wherein R^P is H or a suitable phenol protecting group and X is halogen, $-\text{OSO}_2\text{F}$, or $-\text{OSO}_2\text{CF}_3$,

with a compound having the formula:

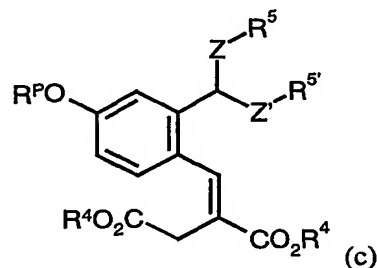


5

to form a compound of Formula (b)

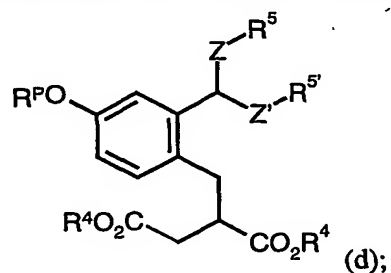


2) converting the compound of Formula (b) to a compound of Formula (c);



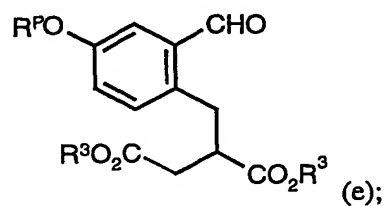
10 wherein R^5 and $R^{5'}$ are C_1 - C_4 alkyl or R^5 and $R^{5'}$, taken together with the atoms to which they are attached form a saturated 5- or 6-membered heterocyclic ring and Z and Z' are independently selected from O, NH or NCH_3 ;

3) converting the compound of Formula (c) to a compound of Formula (d):

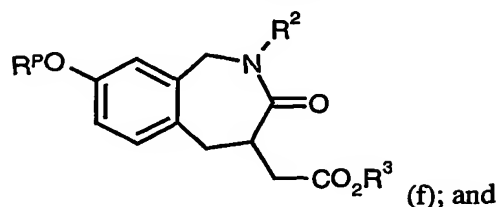


15

4) converting the compound of Formula (d) to a compound of Formula (e)



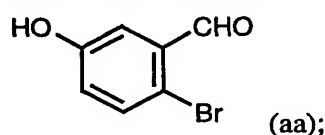
- 5) converting the compound of Formula (e) to a compound of Formula (f)



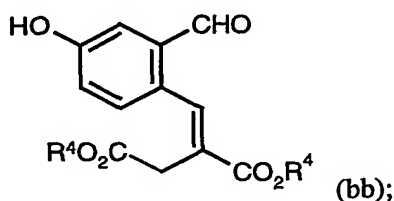
- 6) converting the compound of Formula (f) to a compound of Formula (II).

- 5 4. A process according to claim 1, further comprising a process for preparing the compound of Formula (II) comprising the steps of:

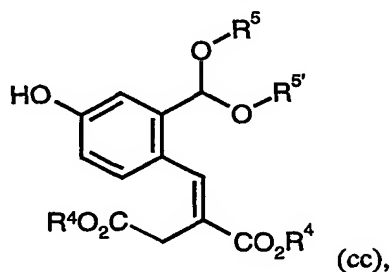
- 1) converting 3-hydroxybenzaldehyde to a compound of Formula (aa)



- 2) treating the compound of Formula (aa) with itaconic acid to form a
10 compound of Formula (bb):

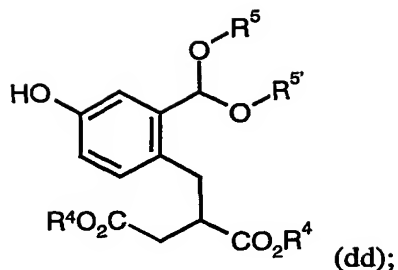


- 3) converting the compound of Formula (bb) to a compound of Formula (cc)

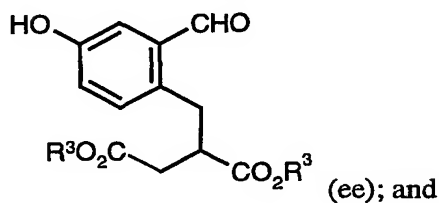


- where R⁵ and R⁵' are C₁-C₄ alkyl or R⁵ and R⁵', taken together with the atoms to which they
15 are attached form a saturated 5- or 6-membered heterocyclic ring;

- 4) converting the compound of Formula (cc) to a compound of Formula (dd)



- 5) converting the compound of Formula (dd) to a compound of Formula (ee)

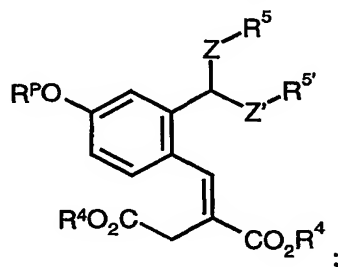


- 6) converting the compound of Formula (ee) to a compound of Formula (II).

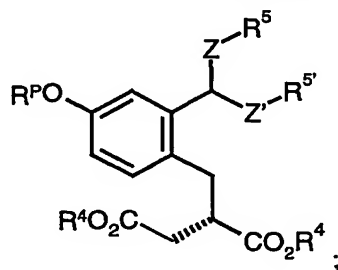
5

5. A process according to claim 2, further comprising a process for preparing the compound of Formula (II-S) comprising the steps of:

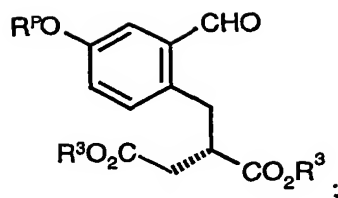
- 1) converting the compound having the formula:



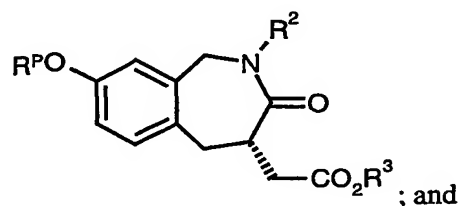
- 10 wherein R^5 and $R^{5'}$ are C_1 - C_4 alkyl or R^5 and $R^{5'}$, taken together with the atoms to which they are attached form a saturated 5- or 6-membered heterocyclic ring and Z and Z' are independently selected from O, NH or NCH_3 , to a compound having the formula:



- 2) converting the compound formed in step 1) into a compound having the formula:



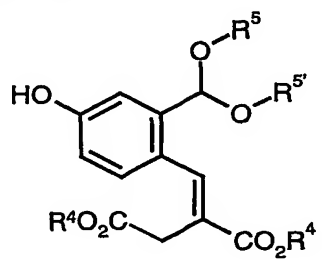
3) converting the compound formed in step 2) into the compound having the formula:



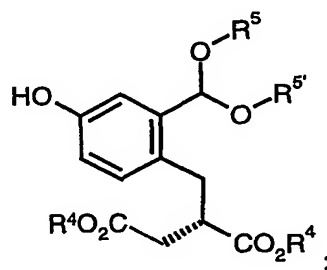
4) converting the compound formed in step 3) into the compound of Formula (II-S).

6. A process according to claim 2, further comprising a process for preparing the compound of Formula (II-S) comprising the steps of:

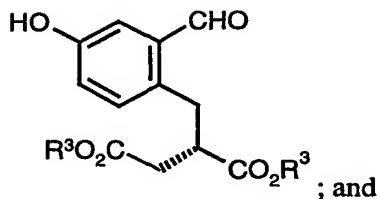
1) converting the compound having the formula:



wherein R^5 and $R^{5'}$ are C_1 - C_4 alkyl or R^5 and $R^{5'}$, taken together with the atoms to which they are attached form a saturated 5- or 6-membered heterocyclic ring, into a compound having the formula:

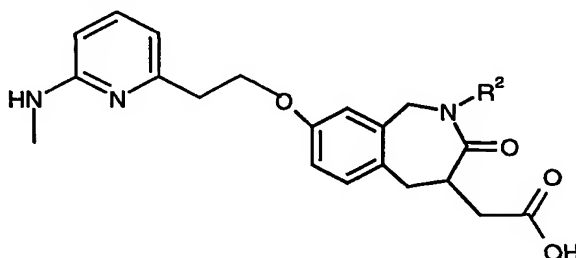


2) converting the compound formed in step 1) into a compound having the formula:



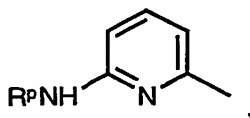
3) converting the compound formed in step 2) into the compound of Formula (II-S).

7. A process according to claim 1, further comprising a process for preparing the
5 compound of Formula (I) having the formula:



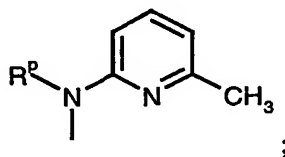
comprising the steps of:

1) converting 2-amino-6-methylpyridine into a compound having the formula:

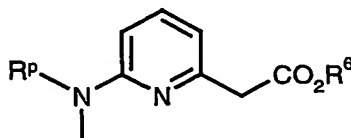


10 wherein R^{P} is a suitable amino protecting group;

2) converting the compound formed in step 1) to a compound having the
formula:

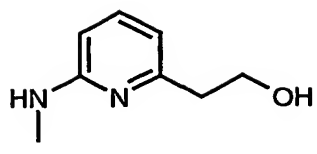


3) converting the compound formed in step 2) to a compound having the
15 formula:

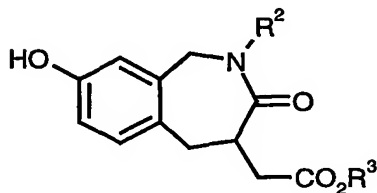


wherein R^6 is H or an alkyl carboxylic acid ester protecting group;

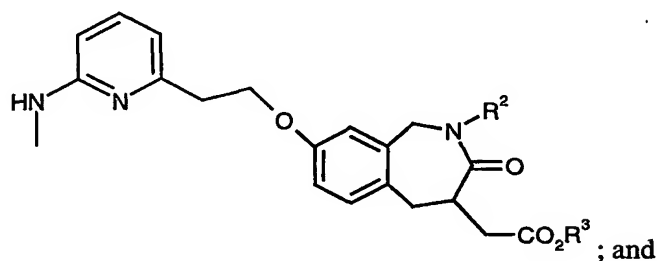
4) converting the compound formed in step 3) to a compound having the
formula:



5) treating the compound formed in step 4) with a compound having the formula:

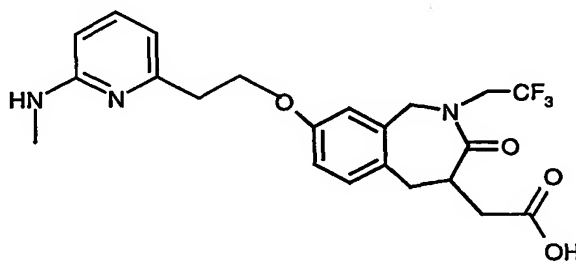


5 to form a compound having the formula:



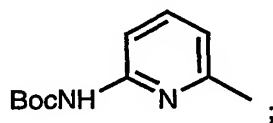
6) converting the compound formed in step 5) to the compound of Formula I.

8. A process according to claim 1, further comprising a process for preparing the compound of Formula (I) having the formula:

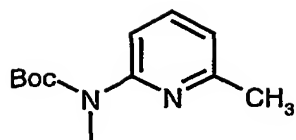


comprising the steps of:

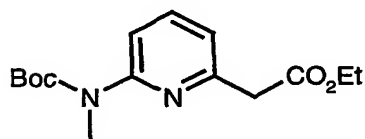
1) converting 2-amino-6-methylpyridine into a compound having the Formula:



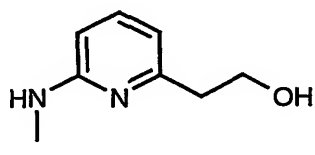
2) converting the compound formed in step 1) to a compound having the formula:



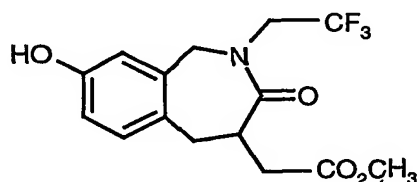
3) converting the compound formed in step 2) to a compound having the formula:



4) converting the compound formed in step 3) to a compound having the formula:

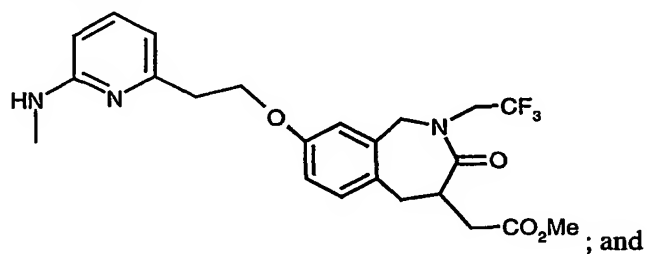


5) treating the compound formed in step 4) with a compound having the formula:



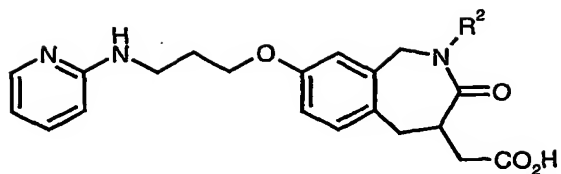
10

to form a compound having the formula:



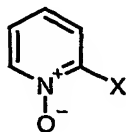
6) converting the compound formed in step 5) to the compound of Formula (I).

9. A process according to claim 1, further comprising a process for preparing the compound of Formula (I) having the formula:

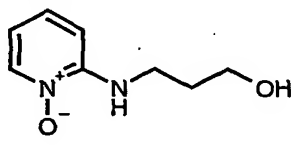


comprising the steps of:

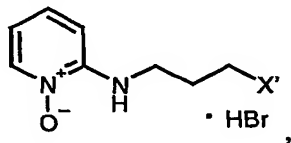
1) converting a compound having the formula:



5 wherein X is halogen or $-\text{OSO}_2\text{CF}_3$, to a compound having the formula:

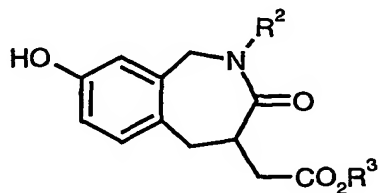


2) converting the compound formed in step 1) into a compound having the formula:

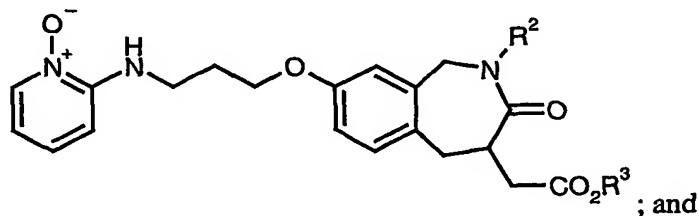


wherein X' is halogen, -OSO₂CH₃, -OSO₂CF₃, -OSO₂(phenyl), or -OSO₂(p-tolyl);

10 3) treating the compound formed in step 2) with a compound having the formula:



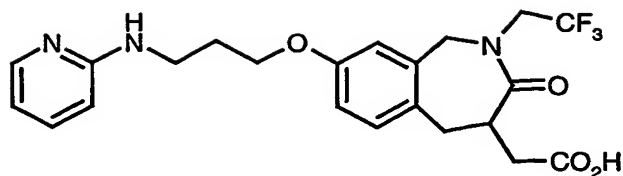
to form a compound having the formula:



4) converting the compound formed in step 3) into the compound of Formula (I).

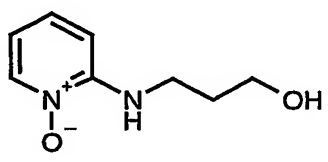
15

10. A process according to claim 1, further comprising a process for preparing the compound of Formula (I) having the formula:

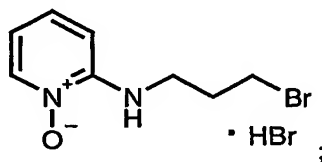


comprising the steps of:

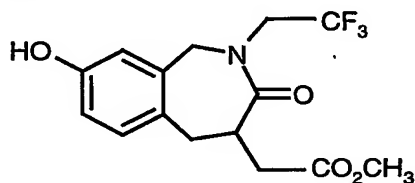
- 1) converting 2-chloropyridine, N-oxide to a compound having the formula:



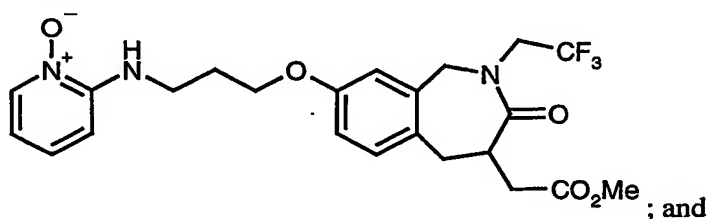
- 5 2) converting the compound formed in step 1) into a compound having the formula:



- 3) treating the compound formed in step 2) with a compound having the formula:



to form a compound having the formula:



- 4) converting the compound formed in step 3) into the compound of Formula (I).

11. A process according to any one of claims 1-7 or 9, wherein R³ is H, C₁-C₆ alkyl or phenyl-C₁-C₄ alkyl-, wherein the phenyl moiety is unsubstituted or substituted by one or more substituents selected from ortho and para substituents selected from chloro, bromo, nitro, methoxy and methyl.

12. A process according to any one of claims 1-7 or 9, wherein R³ is H or C₁-C₄ alkyl.

13. A process according to any one of claims 1-7 or 9, wherein R^3 is C_1 - C_4 alkyl.

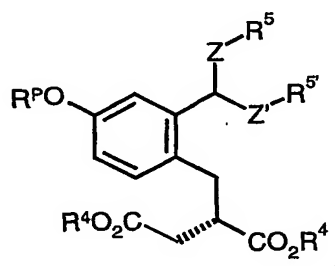
14. A process according to any one of claims 1-7 or 9, wherein R^3 is methyl.

15 15. A process according to any one of claims 1-6, wherein R^4 is H, C_1 - C_6 alkyl or phenyl- C_1 - C_4 alkyl-, wherein the phenyl moiety is unsubstituted or substituted by one or more substituents selected from ortho and para substituents selected from chloro, bromo, nitro, methoxy and methyl.

10 16. A process according to any one of claims 1-6, wherein R^4 is H or C_1 - C_4 alkyl.

17. A process according to any one of claims 1-6, wherein R^4 is H.

18. A compound having the formula:



15

wherein:

R^P is H or a suitable phenol protecting group;

R^4 is H or a carboxylic acid ester protecting group;

20 R^5 and $R^{5'}$ are C_1 - C_4 alkyl or R^5 and $R^{5'}$, taken together with the atoms to which they are attached form a saturated 5- or 6-membered heterocyclic ring and Z and Z' are independently selected from O, NH or NCH_3 ;

or a pharmaceutically acceptable salt or solvate thereof.

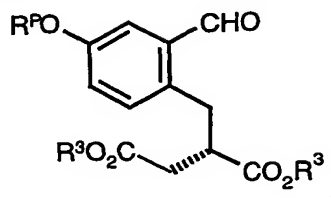
19. A compound according to claim 18, wherein R^4 is H, C_1 - C_6 alkyl or phenyl- C_1 - C_4 alkyl-, wherein the phenyl moiety is unsubstituted or substituted by one or more substituents selected from ortho and para substituents selected from chloro, bromo, nitro, methoxy and methyl.

20. A compound according to claim 18, wherein R^4 is H or C_1 - C_4 alkyl.

30

21. A compound according to claim 18, wherein R^4 is H.

22. A compound having the formula:



5 wherein::

R^P is H or a suitable phenol protecting group;

R^3 is H or a carboxylic acid ester protecting group;

10 R^5 and $R^{5'}$ are C_1 - C_4 alkyl or R^5 and $R^{5'}$, taken together with the atoms to which they are attached form a saturated 5- or 6-membered heterocyclic ring and Z and Z' are independently selected from O, NH or NCH_3 ;

or a pharmaceutically acceptable salt or solvate thereof.

23. A compound according to claim 22, wherein R^3 is H, C_1 - C_6 alkyl or phenyl- C_1 - C_4 alkyl-, wherein the phenyl moiety is unsubstituted or substituted by one or more
15 substituents selected from ortho and para substituents selected from chloro, bromo, nitro, methoxy and methyl.

24. A compound according to claim 22, wherein R^3 is H or C_1 - C_4 alkyl.

20 25. A compound according to claim 22, wherein R^3 is C_1 - C_4 alkyl.

26. A compound according to claim 22, wherein R^3 is methyl.

27. A compound according to any one of claims 18-26, wherein R^P is H.
25

28. A compound according to any one of claims 18-27, wherein Z and Z' are both O.

29. A compound according to any one of claims 18-28, wherein R^5 and $R^{5'}$ are C_1 - C_4 alkyl.

30

30. A compound according to any one of claims 18-29, wherein R^5 and $R^{5'}$ are methyl.

31. A compound:

8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-1,2,4,5-tetrahydro-2-benzazepine-4-acetic acid,

5 S-(-)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-1,2,4,5-tetrahydro-2-benzazepine-4-acetic acid,

2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-1H-2-benzazepine-4-acetic acid, or

(S)-2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-1H-2-benzazepine-4-acetic acid.

10

32. A compound:

methyl 2, 3, 4, 5-tetrahydro-8-hydroxy-3-oxo-2-(2,2,2-trifluoroethyl)-1H-2-benzazepine-4-acetate,

15 (S)-methyl 2, 3, 4, 5-tetrahydro-8-hydroxy-3-oxo-2-(2,2,2-trifluoroethyl)-1H-2-benzazepine-4-acetate,

2-[(2-formyl-4-hydroxyphenyl)methylidene]succinic acid, 2-carboxyl-4-[(2-formyldimethylacetal-4-hydroxyphenyl)] butyric acid, bis(dicyclohexylamine) salt,

(S)-2-carboxyl-4-[(2-formyldimethylacetal-4-hydroxyphenyl)] butyric acid, bis(dicyclohexylamine) salt,

20 dimethyl 2-[(2-formyl-4-hydroxyphenyl)methyl]butanedioate, or dimethyl (2S)-2-[(2-formyl-4-hydroxyphenyl)methyl]butanedioate.